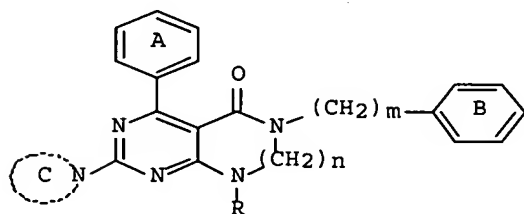


L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:777801 CAPLUS Full-text
 DN 139:292265
 TI Preparation of fused bicyclic pyrimidine derivatives having an
 antagonistic effect on tachykinin receptors
 IN Seto, Shigeki; Tanioka, Asao; Ikeda, Makoto; Izawa, Shigeru
 PA Kyorin Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 82 pp.
 CODEN: PIXXD2
 DT Patent.
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080619	A1	20031002	WO 2003-JP3488	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479277	AA	20031002	CA 2003-2479277	20030324
	BR 2003008844	A	20050104	BR 2003-8844	20030324
	EP 1496059	A1	20050112	EP 2003-712828	20030324
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PRAI	JP 2002-84759	A	20020326		
	WO 2003-JP3488	W	20030324		
OS	MARPAT 139:292265				
GI					



I

AB The patent relates to the preparation of fused bicyclic pyrimidine derivs. having an antagonistic effect on tachykinin receptors, especially on an NK1 receptor. The fused bicyclic pyrimidine derivative is represented by the general formula (I) or salt wherein rings A and B each represents a benzene ring optionally having one to three substituents (two adjacent substituents may be bonded to each other to form a ring); C = nitrogen containing ring; R = H, C1-6 alkyl, C1-6 alkylcarbonyl or C1-6 alkylsulfonyl; m is 1 or 2; and n is 2 or 3. Thus, the titled compound [where R = H, m = 1, n = 3, A = 2-methylphenyl, B = 3,5-di(trifluoromethyl), and C = 4-acetylpiperazine] prepared by reacting 1-acetylpiperazine with the corresponding precursor having methanesulfonyl-substitution instead of piperazinyl group of the product was tested in NK1 receptor solution and gave Kb = 0.148 n mol./L.

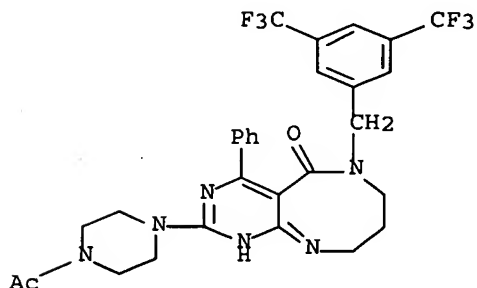
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 606971-51-1P 606971-52-2P 606971-53-3P
 606971-54-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of fused bicyclic pyrimidine derivative as tachykinin receptor antagonist)

RN 606971-27-1 CAPLUS

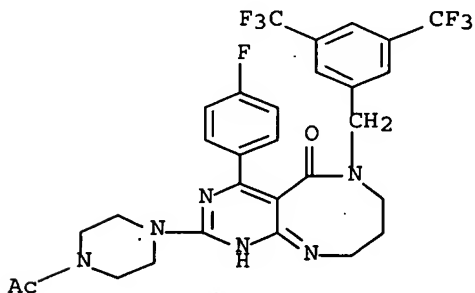
CN Piperazine, 1-acetyl-4-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-5-oxo-4-phenylpyrimido[4,5-b][1,5]diazocin-2-yl]- (9CI) (CA INDEX NAME)



540/460

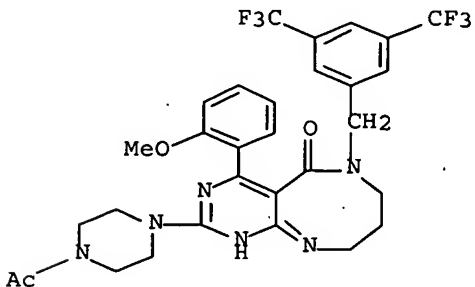
RN 606971-28-2 CAPLUS

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RN 606971-29-3 CAPLUS

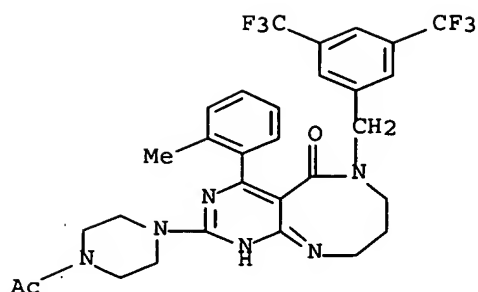
CN Piperazine, 1-acetyl-4-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methoxyphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]- (9CI) (CA INDEX NAME)



514 / 252.16

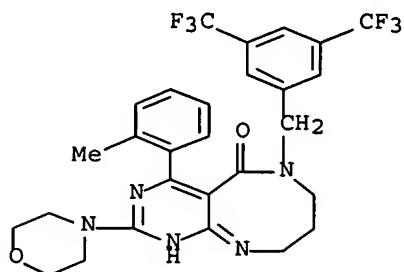
RN 606971-30-6 CAPLUS

CN Piperazine, 1-acetyl-4-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]- (9CI) (CA INDEX NAME)



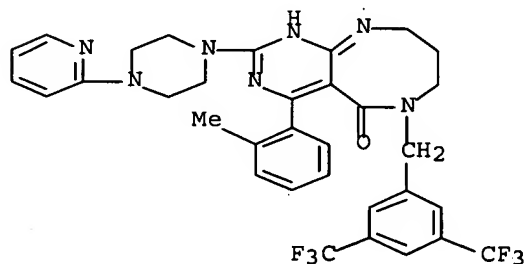
RN 606971-31-7 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



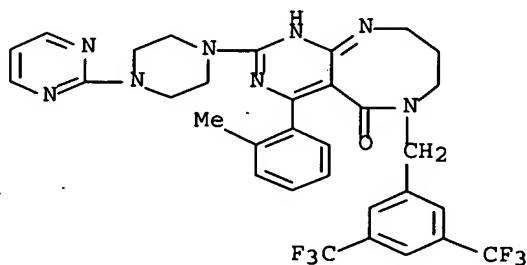
RN 606971-32-8 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 606971-33-9 CAPLUS

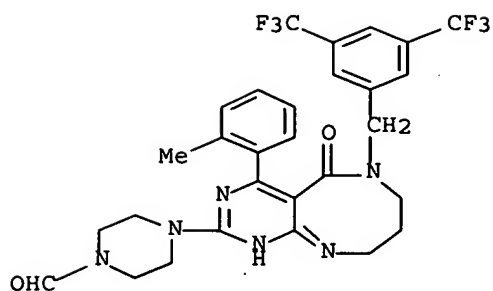
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RN 606971-34-0 CAPLUS

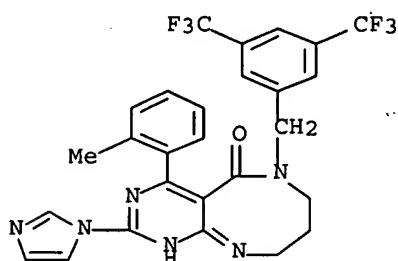
CN 1-Piperazinecarboxaldehyde, 4-[6-[[3,5-bis(trifluoromethyl)phenyl)methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]- (9CI) (CA INDEX NAME)

574/234.5



RN 606971-35-1 CAPLUS

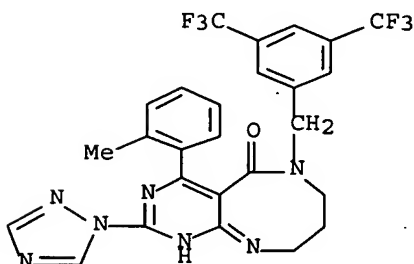
CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9-tetrahydro-2-(1H-imidazol-1-yl)-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



514/262.1

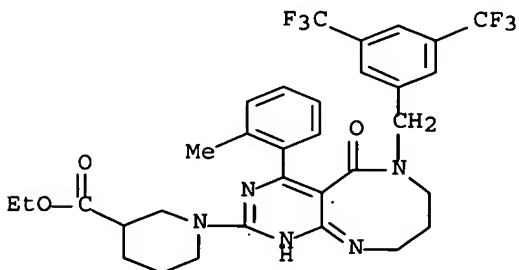
RN 606971-36-2 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-2-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



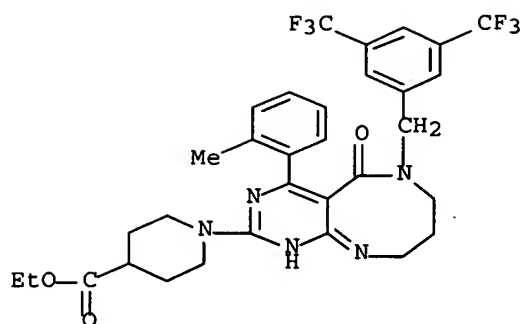
RN 606971-37-3 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



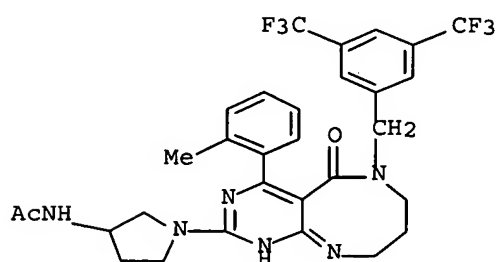
RN 606971-38-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



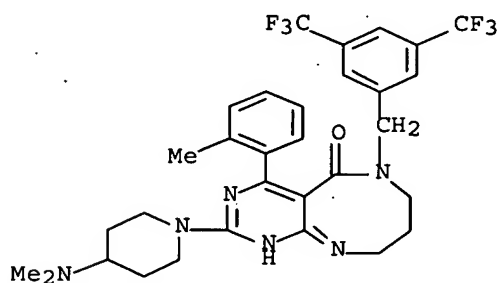
RN 606971-39-5 CAPLUS

CN Acetamide, N-[1-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



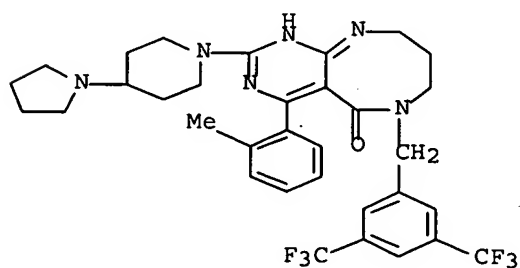
RN 606971-40-8 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[4-(dimethylamino)-1-piperidinyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 606971-41-9 CAPLUS

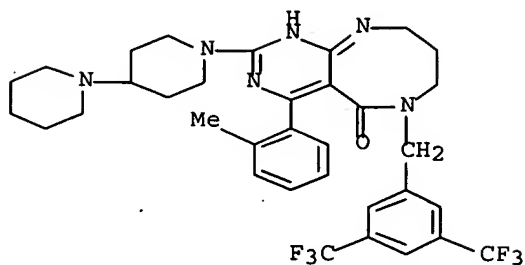
CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-2-[4-(1-pyrrolidinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 606971-42-0 CAPLUS

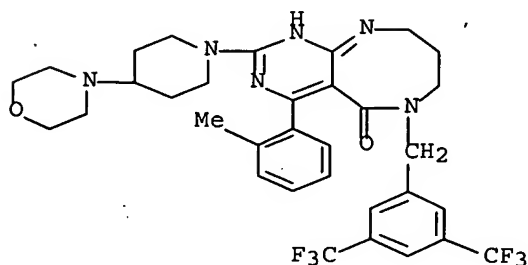
CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 2-[1,4'-bipiperidin]-1'-yl-6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-

(9CI) (CA INDEX NAME)



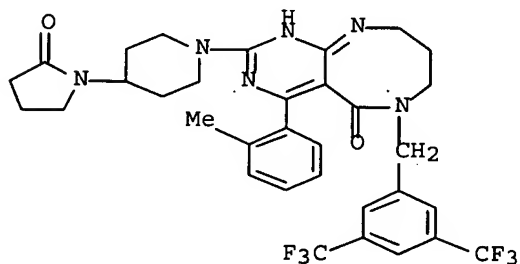
RN 606971-43-1 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-2-[4-(4-morpholinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



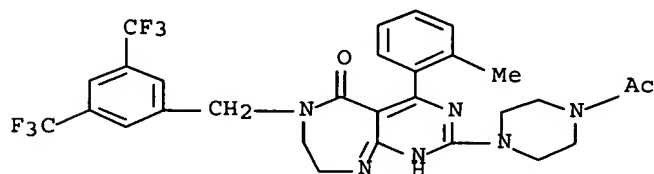
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CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6,7,8,9-tetrahydro-4-(2-methylphenyl)-2-[4-(2-oxo-1-pyrrolidinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 606971-45-3 CAPLUS

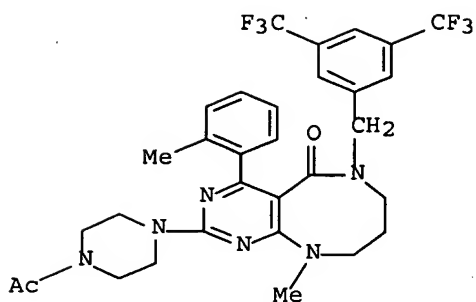
CN Piperazine, 1-acetyl-4-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5,6,7,8-tetrahydro-4-(2-methylphenyl)-5-oxo-1H-pyrimido[4,5-e][1,4]diazepin-2-yl]- (9CI) (CA INDEX NAME)



RN 606971-46-4 CAPLUS

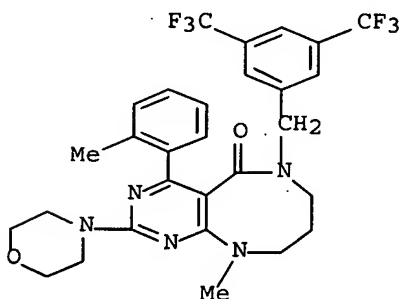
CN Piperazine, 1-acetyl-4-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5,6,7,8,9,10-hexahydro-10-methyl-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]- (9CI) (CA INDEX NAME)

540/502
514/221



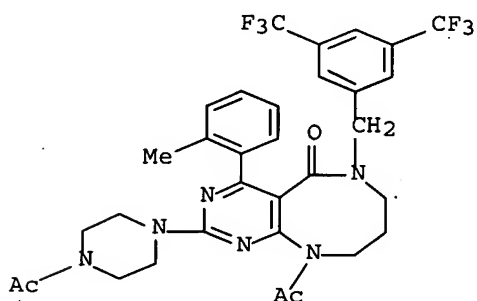
RN 606971-47-5 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(6H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-10-methyl-4-(2-methylphenyl)-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



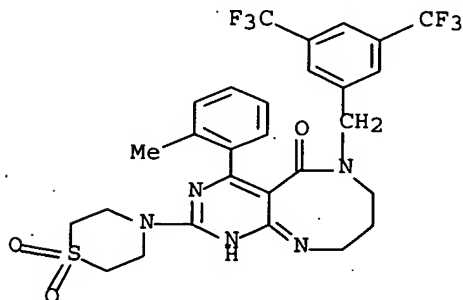
RN 606971-48-6 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(6H)-one, 10-acetyl-2-(4-acetyl-1-piperazinyl)-6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7,8,9,10-tetrahydro-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 606971-49-7 CAPLUS

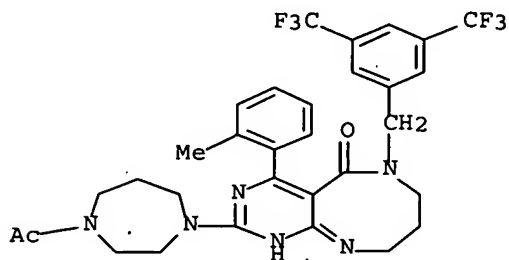
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514/224.5

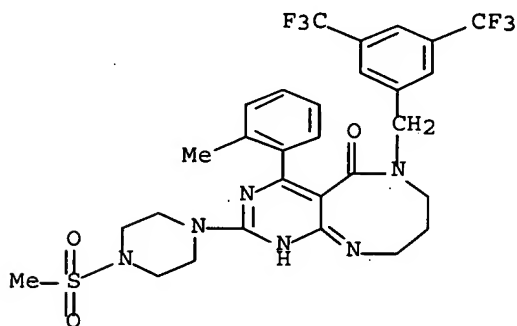
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CN 1H-1,4-Diazepine, 1-acetyl-4-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]hexahydro- (9CI) (CA INDEX NAME)



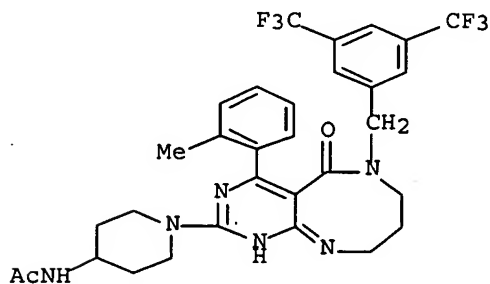
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CN Piperazine, 1-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



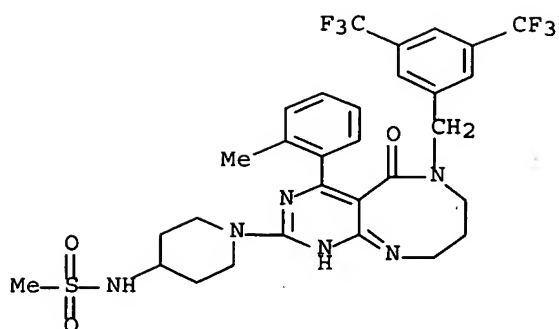
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CN Acetamide, N-[1-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



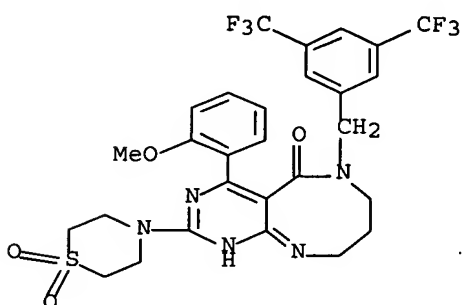
RN 606971-53-3 CAPLUS

CN Methanesulfonamide, N-[1-[6-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,5,6,7,8,9-hexahydro-4-(2-methylphenyl)-5-oxopyrimido[4,5-b][1,5]diazocin-2-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



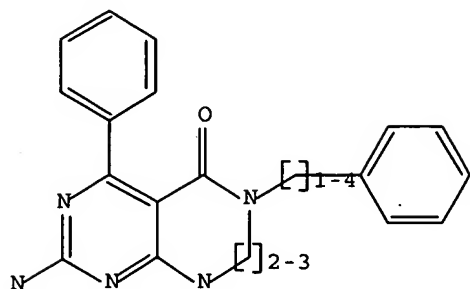
RN 606971-54-4 CAPLUS

CN Pyrimido[4,5-b][1,5]diazocin-5(1H)-one, 6-[[3,5-bis(trifluoromethyl)phenyl)methyl]-2-(1,1-dioxido-4-thiomorpholinyl)-6,7,8,9-tetrahydro-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l2; d his; log y
 L2 HAS NO ANSWERS
 L1 STR



Structure attributes must be viewed using STN Express query preparation.
 L2 QUE ABB=ON PLU=ON L1

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FILE 'REGISTRY' ENTERED AT 15:18:10 ON 08 JUL 2005

L1 STRUCTURE UPLOADED
 L2 QUE L1
 L3 3 S L2
 L4 28 S L2 FUL

FILE 'CAPLUS' ENTERED AT 15:18:39 ON 08 JUL 2005

L5 1 S L4

FILE 'BEILSTEIN' ENTERED AT 15:19:08 ON 08 JUL 2005

L6 0 S L2 FUL

FILE 'MARPAT' ENTERED AT 15:19:29 ON 08 JUL 2005

L7 0 S L2
 L8 1 S L2 FUL
 L9 0 S L8 NOT L5

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	113.53	280.52
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.73

STN INTERNATIONAL LOGOFF AT 15:20:00 ON 08 JUL 2005